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TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1           Web Page for STN Seminar Schedule - N. America
 NEWS  2  NOV 21   CAS patent coverage to include exemplified prophetic
                   substances identified in English-, French-, German-,
                   and Japanese-language basic patents from 2004-present
 NEWS  3  NOV 26   MARPAT enhanced with FSORT command
 NEWS  4  NOV 26   CHEMSAFE now available on STN Easy
 NEWS  5  NOV 26   Two new SET commands increase convenience of STN
                   searching
 NEWS  6  DEC 01   ChemPort single article sales feature unavailable
 NEWS  7  DEC 12   GBFULL now offers single source for full-text
                   coverage of complete UK patent families
 NEWS  8  DEC 17   Fifty-one pharmaceutical ingredients added to PS
 NEWS  9  JAN 06   The retention policy for unread STNmail messages
                   will change in 2009 for STN-Columbus and STN-Tokyo
 NEWS 10  JAN 07   WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
                   Classification Data
 NEWS 11  FEB 02   Simultaneous left and right truncation (SLART) added
                   for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
 NEWS 12  FEB 02   GENBANK enhanced with SET PLURALS and SET SPELLING
 NEWS 13  FEB 06   Patent sequence location (PSL) data added to USGENE
 NEWS 14  FEB 10   COMPENDEX reloaded and enhanced
 NEWS 15  FEB 11   WTEXTILES reloaded and enhanced
 NEWS 16  FEB 19   New patent-examiner citations in 300,000 CA/CAplus
                   patent records provide insights into related prior
                   art
 NEWS 17  FEB 19   Increase the precision of your patent queries -- use
                   terms from the IPC Thesaurus, Version 2009.01
 NEWS 18  FEB 23   Several formats for image display and print options
                   discontinued in USPATFULL and USPAT2
 NEWS 19  FEB 23   MEDLINE now offers more precise author group fields
                   and 2009 MeSH terms
 NEWS 20  FEB 23   TOXCENTER updates mirror those of MEDLINE - more
                   precise author group fields and 2009 MeSH terms
 NEWS 21  FEB 23   Three million new patent records blast AEROSPACE into
                   STN patent clusters
 NEWS 22  FEB 25   USGENE enhanced with patent family and legal status
                   display data from INPADOCDB
 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

 NEWS HOURS     STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN     Welcome Banner and News Items
 NEWS IPC8      For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.
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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:36:23 ON 04 MAR 2009

=> FILE REG

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 11:36:29 ON 04 MAR 2009
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 2 MAR 2009 HIGHEST RN 1114593-79-1
DICTIONARY FILE UPDATES: 2 MAR 2009 HIGHEST RN 1114593-79-1

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

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http://www.cas.org/support/stngen/stndoc/properties.html

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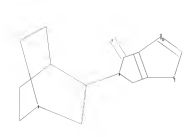
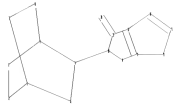




chain nodes :
15
ring nodes :
1 2 3 4 5 6 7 8 10 11 12 13 14 16 17 18
chain bonds :
5-10 11-15
ring bonds :
1-2 1-6 1-7 2-3 3-4 4-5 4-8 5-6 7-8 10-11 10-14 11-12 12-13 12-16
13-14 13-18 16-17 17-18

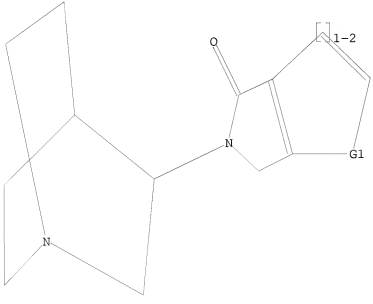
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 4-8 5-6 5-10 7-8 10-11 10-14 11-12 11-15
12-13 12-16 13-14 13-18 16-17 17-18
isolated ring systems :
containing 1 : 10 :

G1:C,O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:CLASS 16:Atom 17:Atom 18:Atom

L1 STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1 STR



G1 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

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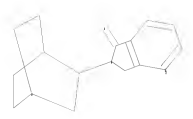
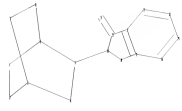




chain nodes :
15
ring nodes :
1 2 3 4 5 6 7 8 10 11 12 13 14 17 18 19 20
chain bonds :
5-10 11-15
ring bonds :
1-2 1-6 1-7 2-3 3-4 4-5 4-8 5-6 7-8 10-11 10-14 11-12 12-13 12-17
13-14 13-20 17-18 18-19 19-20

exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 4-8 5-6 5-10 7-8 10-11 10-14 11-12 11-15
12-13 12-17 13-14 13-20 17-18 18-19 19-20
isolated ring systems :
containing 1 : 10 :

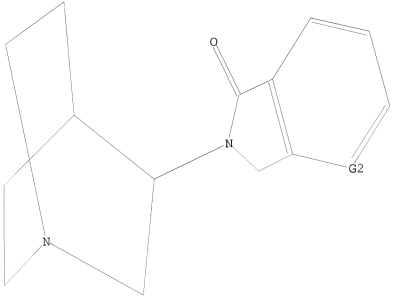
G1:C,O,S,N

G2:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:CLASS 17:Atom 18:Atom 19:Atom 20:Atom

L2 STRUCTURE UPLOADED

=> D L2
L2 HAS NO ANSWERS
L2 STR



G1 C,O,S,N
G2 C,N

Structure attributes must be viewed using STN Express query preparation.

=> S L1 FULL
FULL SEARCH INITIATED 11:37:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 863 TO ITERATE

100.0% PROCESSED 863 ITERATIONS 83 ANSWERS
SEARCH TIME: 00.00.01

L3 83 SEA SSS FUL L1

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=> S L2 FULL
FULL SEARCH INITIATED 11:37:26 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      668 TO ITERATE

100.0% PROCESSED      668 ITERATIONS                          79 ANSWERS
SEARCH TIME: 00.00.01

L4           79 SEA SSS FUL L2

=> FILE CAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                            371.76     371.98

FILE 'CAPLUS' ENTERED AT 11:37:30 ON 04 MAR 2009
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FILE COVERS 1907 - 4 Mar 2009  VOL 150 ISS 10
FILE LAST UPDATED: 3 Mar 2009  (20090303/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> S L3 FULL
L5           9 L3

=> S L4 FULL
L6           9 L4

=> D IBIB ABS HITSTR L5 TOT
```

L5 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:734100 CAPLUS
DOCUMENT NUMBER: 149:79629
TITLE: Preparation of N,N'-diarylpyrimidinediamine for use as protein kinase inhibitors
INVENTOR(S): Michellys, Pierre-Yves; Pei, Wei; Marsilje, Thomas H.; Lu, Wenshuo; Chen, Bei; Uno, Tetsuo; Jin, Yunho; Jiang, Tao
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 199pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008073687	A2	20080619	WO 2007-US85304	20071120
WO 2008073687	A3	20080731		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20080176881	A1	20080724	US 2007-943436	20071120
PRIORITY APPLN. INFO.:			US 2006-869299P P	20061208
			US 2007-966449P P	20070828
OTHER SOURCE(S):	MARPAT 149:79629			

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 and R2 independently = halo, OR12, (un)substituted alkyl, alkynyl, etc.; or one of R1 or R2 = H; or R1 and R2 together form (un)substituted monocyclic or fused carbocyclic ring, aryl, heteroaryl, etc.; R3 = CN, SO2R12, (CR5)2CO2R12, etc.; R4 = H, NO2, halo, (un)substituted alkyl, alkenyl, etc.; R5 = H or alkyl; R6 = substituted aryl or heteroaryl; R12 = H, alkyl, aryl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as protein kinase inhibitors. Thus, e.g., II was prepared by amidation of 4-aminopiperidine-1-carboxylic acid tert-Bu ester with 2-chloro-4-isopropoxy-5-nitrobenzoyl chloride (preparation given), followed by coupling with vinylboronic acid di-Bu ester, cyclization, reduction, substitution with (2,5-dichloropyrimidin-4-yl)-[2-(propane-2-sulfonyl)phenyl]amine (preparation given), and deprotection. I were evaluated in BaF3-NPM-ALK cell assays and, in general, demonstrated IC50 values from 1 nM to 10 μM.

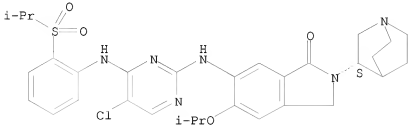
IT 1032902-05-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-diarylpyrimidinediamine for use as protein kinase inhibitors)

RN 1032902-05-8 CAPLUS

CN 1H-Isoindol-1-one, 2-(3S)-1-azabicyclo[2.2.2]oct-3-yl-6-[[5-chloro-4-[[2-[(1-methylethyl)sulfonyl]phenyl]amino]-2-pyrimidinyl]amino]-2,3-dihydro-5-(1-methylethoxy)- (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:224063 CAPLUS
DOCUMENT NUMBER: 148:285190
TITLE: Tricyclic compound derivatives useful in the treatment of neoplastic diseases, inflammatory disorders and immunomodulatory disorders
INVENTOR(S): Gregor, Vlad Edward; Liu, Yahua; Anikin, Alexey; McGee, Danny Peter Claude; Mikel, Charles; McGrath, Douglas Eric; Vavilala, Goverdhan Reddy; Pickens, Jason C.; Kadushkin, Alexander; Thiruvazhi, Mohan Santhanam; Zozulya, Sergey; Vairagoundar, Rajendran; Zhu, Tong; Chucholowski, Alexander; Webb, Thomas R.; Jiang, Luyong; Gantla, Vidyasagar Reddy; Yan, Zheng
PATENT ASSIGNEE(S): Chembridge Research Laboratories, Inc., USA
SOURCE: PCT Int. Appl., 339pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008021369	A2	20080221	WO 2007-US18002	20070813
WO 2008021369	A3	20080529		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20080171769	A1	20080717	US 2007-891604	20070810
PRIORITY APPLN. INFO.:			US 2006-837652P P	20060814

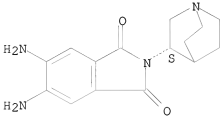
OTHER SOURCE(S): MARPAT 148:285190
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Provided are compds. of formula I or a stereoisomer, tautomer, salt, hydrate, or prodrug thereof, capable of modulating tyrosine kinases, compns. comprising the compds. and methods of their use. Compds. of formula I wherein each W1 - W6 are independently C and N, with the proviso that then W1 - W6 is N, the corresponding substituent X1 - X6 is absent; each X1 - X3, X5 and X6 are independently H, OH, halo, (un)substituted lower alkyl, (un)substituted lower alkoxy, (un)substituted acylamino, etc.; X4 is H, OH, halo, CF3, OCF3, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, etc.; Y1 and Y2 are independently (un)substituted (CH2)0-4 alkyl, CO, CS, C=NH, and derivs., SO2 and CF2; R1 is (un)substituted heterocyclyl, heterocyclylalkyl, heteroaryl, heteroarylalkyl, etc.; and their stereoisomers, tautomers, salts, hydrated and prodrugs thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their tyrosine kinase modulatory activity (data given).

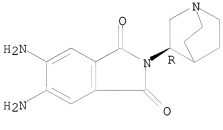
IT 1008453-60-8P 1008453-64-2P
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prophetic intermediate; preparation of tricyclic compound derivs. as tyrosine
kinase modulators useful in treatment and prevention of neoplastic, inflammatory, immune and other tyrosine kinase-related diseases)
RN 1008453-60-8 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5,6-diamino-2-(3S)-1-azabicyclo[2.2.2]oct-3-yl- (CA INDEX NAME)

Absolute stereochemistry.



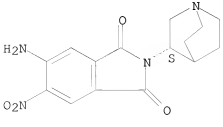
RN 1008453-64-2 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5,6-diamino-2-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (CA INDEX NAME)

Absolute stereochemistry.



IT 1008452-35-4 1008452-37-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of tricyclic compound derivs. as tyrosine kinase modulators useful in treatment and prevention of neoplastic, inflammatory, immune and other tyrosine kinase-related diseases)
RN 1008452-35-4 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5-amino-2-(3S)-1-azabicyclo[2.2.2]oct-3-yl-6-nitro- (CA INDEX NAME)

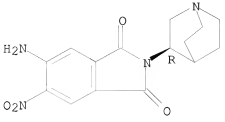
Absolute stereochemistry.



RN 1008452-37-6 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5-amino-2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-

nitro- (CA INDEX NAME)

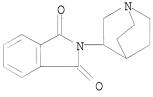
Absolute stereochemistry.



L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1262924 CAPLUS
DOCUMENT NUMBER: 144:369594
TITLE: Synthesis, structural and conformational study of selected N-substituted phthalimides
AUTHOR(S): Iriepa, Isabel; Villasante, F. Javier; Galvez, Enrique; Herrera, Antonio; Sanchez, Angel; Cano, Felix H.
CORPORATE SOURCE: Dpto. Quimica Organica, Universidad de Alcala, Alcala de Henares, 28871, Spain
SOURCE: Central European Journal of Chemistry (2005), 3(4), 683-704
CODEN: CEJCAZ; ISSN: 1644-3624
URL: http://www.ingentaconnect.com/content/cesj/cejc/2005/00000003/00000004
PUBLISHER: Central European Science Journals
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:369594

AB This paper synthesizes N-substituted phthalimides derived from nitrogen heterocycles as potential 5-HT4 ligands by using the Mitsunobu reaction. Conformational studies of some of the new compds. have been conducted using 1H and 13C-NMR spectroscopy. Proton and carbon resonances were achieved through the application of one-dimensional selective NOE, two-dimensional NMR techniques-homonuclear COSY-45, NOESY and heteronuclear 1H-13C HMQC correlated spectroscopy- and double resonance expts. The crystal structure of compound 1 was determined by X-ray diffraction.

IT 882430-91-3P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(synthesis, crystal structure, and conformation of N-substituted phthalimides)
RN 882430-91-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-(1-azabicyclo[2.2.2]oct-3-yl)- (CA INDEX NAME)



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1154550 CAPLUS

DOCUMENT NUMBER: 143:422508

TITLE: Preparation of 2-(1-azabicyclo[2.2.2]oct-3-yl)-2,3-dihydroisoindol-1-one and 5-(1-azabicyclo[2.2.2]oct-3-yl)-5,6-dihydrofuro[2,3-c]pyrrol-4-one derivatives for therapeutic use as ligands for the α7 nicotinic acetylcholine receptor (α7nAChR)

INVENTOR(S): Chapdelaine, Marc; Herzog, Keith J.

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Chapdelaine, Marc; Herzog, Keith J.

SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005100351	A1	20051027	WO 2005-SE500	20050406
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2005233492	A1	20051027	AU 2005-233492	20050406
CA 2563010	A1	20051027	CA 2005-2563010	20050406
EP 1737854	A1	20070103	EP 2005-722314	20050406
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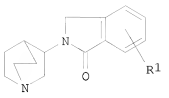
BR 2005009777	A	20071023	BR 2005-9777	20050406
JP 2007532637	T	20071115	JP 2007-508300	20050406
IN 2006DN05559	A	20070831	IN 2006-DN5559	20060925
MX 2006011725	A	20061211	MX 2006-11725	20061010
US 20070213342	A1	20070913	US 2006-599839	20061011
KR 2007020445	A	20070221	KR 2006-721260	20061013
NO 2006005199	A	20061113	NO 2006-5199	20061113

PRIORITY APPLN. INFO.: SE 2004-970 A 20040414
WO 2005-SE500 W 20050406

OTHER SOURCE(S): CASREACT 143:422508; MARPAT 143:422508

GI



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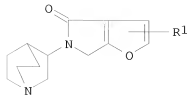

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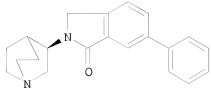


III

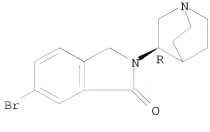
AB The title quinuclidine derivs., such as I and II [R1 = H, halogen, aryl, heteroaryl, heterocyclyl], were prepared for use in pharmaceutical compns. as α7nAChR ligands for treatment or prophylaxis of diseases or conditions in which activation of the α7nAChR is beneficial. These quinuclidines are claimed for use in the treatment or prophylaxis of neurol. disorders, psychotic disorders or intellectual impairment disorders selected from Alzheimer's disease, learning deficit, cognition deficit, attention deficit, memory loss or attention deficit hyperactivity disorder, anxiety, schizophrenia, or mania, manic depression, Parkinson's disease, Huntington's disease, Tourette's syndrome, neurodegenerative disorders in which there is loss of cholinergic synapses, jet lag, nicotine addiction, craving, pain, or ulcerative colitis. Thus, 2-[(R)-1-azabicyclo[2.2.2]oct-3-yl]-6-phenyl-2,3-dihydroisoindol-1-one (III) was prepared via an aromatic coupling reaction with 34% yield of 2-[(R)-1-azabicyclo[2.2.2]oct-3-yl]-6-bromo-2,3-dihydroisoindol-1-one with PhB(OH)2 using PdCl2(PPh3)2 and Cs2CO3 in DME/H2O/EtOH (1:1:1) and heating to 150° for 10 min in a Smith microwave. The prepared quinuclidine derivs. were assayed for α7nAChR binding affinity and for P-glycoprotein mediated efflux.

IT 868235-52-3P, 2-[(R)-1-Azabicyclo[2.2.2]oct-3-yl]-6-bromo-2,3-dihydroisoindol-1-one 868235-55-6P 868235-59-0P 868235-63-6P 868235-69-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2-quinuclidinyl-2,3-dihydroisoindol-1-ones and 5-quinuclidinyl-5,6-dihydro-furo[2,3-c]pyrrol-4-one derivs. for therapeutic use as ligands for α7 nicotinic acetylcholine receptor)

RN 868235-52-3 CAPLUS

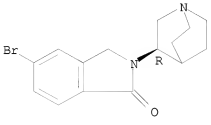
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-bromo-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



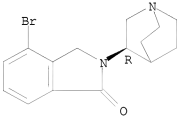
RN 868235-55-6 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-5-bromo-2,3-dihydro-
(CA INDEX NAME)

Absolute stereochemistry.



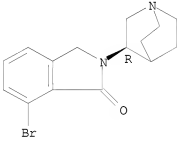
RN 868235-59-0 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-4-bromo-2,3-dihydro-
(CA INDEX NAME)

Absolute stereochemistry.



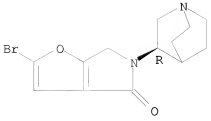
RN 868235-63-6 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-7-bromo-2,3-dihydro-
(CA INDEX NAME)

Absolute stereochemistry.



RN 868235-69-2 CAPLUS
CN 4H-Furo[2,3-c]pyrrol-4-one, 5-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2-bromo-5,6-
dihydro- (CA INDEX NAME)

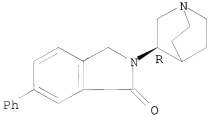
Absolute stereochemistry.



IT 868235-47-6P, 2-[(R)-1-Azabicyclo[2.2.2]oct-3-yl]-6-phenyl-2,3-dihydroisoindol-1-one 868235-48-7P 868235-49-8P
868235-50-1P 868235-51-2P 868235-53-4P
868235-54-5P 868235-56-7P 868235-57-8P
868235-58-9P 868235-60-3P 868235-61-4P
868235-62-5P 868235-64-7P 868235-65-8P
868235-66-9P 868235-67-0P 868235-68-1P
868235-70-5P 868235-71-6P 868235-72-7P
868235-73-8P 868235-74-9P 868235-75-0P
868235-76-1P 868235-77-2P 868235-78-3P
868235-79-4P 868235-80-7P 868235-81-8P
868235-82-9P 868235-83-0P 868235-84-1P
868235-85-2P 868235-86-3P 868235-87-4P
868235-88-5P 868235-89-6P 868235-90-9P
868235-91-0P 868235-92-1P 868235-93-2P
868235-94-3P 868235-95-4P 868235-96-5P
868235-97-6P 868235-98-7P 868235-99-8P
868236-00-4P 868236-02-6P 868236-04-8P
868236-06-0P 868236-07-1P 868236-08-2P
868236-09-3P 868236-10-6P 868236-11-7P
868236-12-8P 868236-13-9P 868236-14-0P
868236-15-1P 868236-16-2P 868236-17-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-quinuclidinyl-2,3-dihydroisoindol-1-ones and 5-quinuclidinyl-5,6-dihydro-furo[2,3-c]pyrrol-4-one derivs. for therapeutic use as ligands for α7 nicotinic acetylcholine receptor)

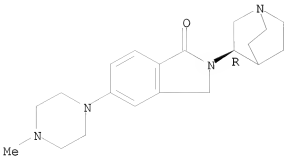
RN 868235-47-6 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



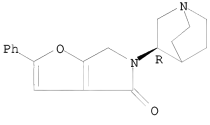
RN 868235-48-7 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-5-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

Absolute stereochemistry.



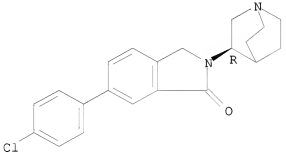
RN 868235-49-8 CAPLUS
CN 4H-Furo[2,3-c]pyrrol-4-one, 5-(3R)-1-azabicyclo[2.2.2]oct-3-yl-5,6-dihydro-2-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



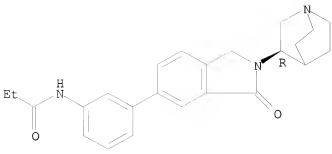
RN 868235-50-1 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(4-chlorophenyl)-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



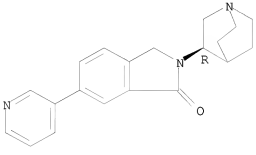
RN 868235-51-2 CAPLUS
CN Propanamide, N-[3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



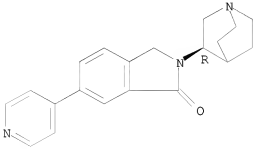
RN 868235-53-4 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(3-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



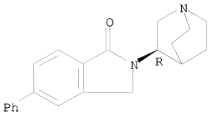
RN 868235-54-5 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(4-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



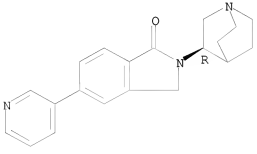
RN 868235-56-7 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-5-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



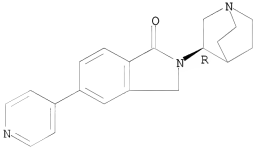
RN 868235-57-8 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-5-(3-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



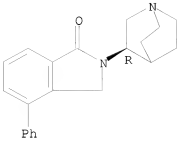
RN 868235-58-9 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-5-(4-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



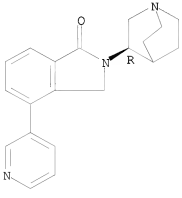
RN 868235-60-3 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-4-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



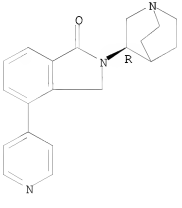
RN 868235-61-4 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-4-(3-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



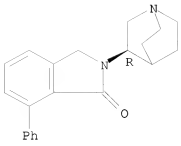
RN 868235-62-5 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-4-(4-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



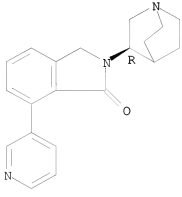
RN 868235-64-7 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-7-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



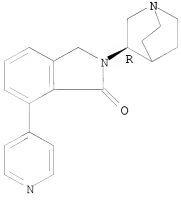
RN 868235-65-8 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-7-(3-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



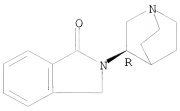
RN 868235-66-9 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-7-(4-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



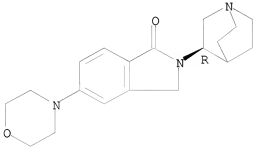
RN 868235-67-0 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



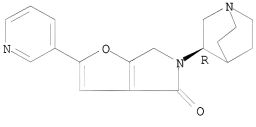
RN 868235-68-1 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-5-(4-morpholinyl)- (CA INDEX NAME)

Absolute stereochemistry.



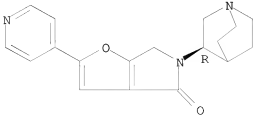
RN 868235-70-5 CAPLUS
CN 4H-Furo[2,3-c]pyrrol-4-one, 5-(3R)-1-azabicyclo[2.2.2]oct-3-yl-5,6-dihydro-2-(3-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



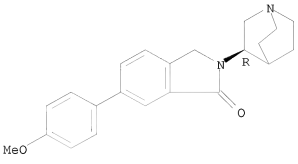
RN 868235-71-6 CAPLUS
CN 4H-Furo[2,3-c]pyrrol-4-one, 5-(3R)-1-azabicyclo[2.2.2]oct-3-yl-5,6-dihydro-2-(4-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



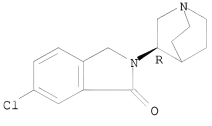
RN 868235-72-7 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(4-methoxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry.



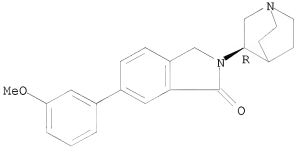
RN 868235-73-8 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-chloro-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



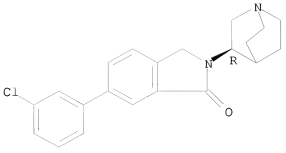
RN 868235-74-9 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(3-methoxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry.



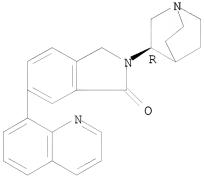
RN 868235-75-0 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(3-chlorophenyl)-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



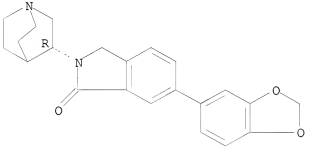
RN 868235-76-1 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(8-quinolinyl)- (CA INDEX NAME)

Absolute stereochemistry.



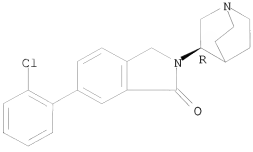
RN 868235-77-2 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(1,3-benzodioxol-5-yl)-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



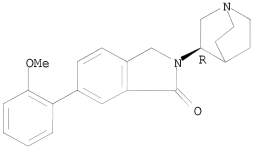
RN 868235-78-3 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(2-chlorophenyl)-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



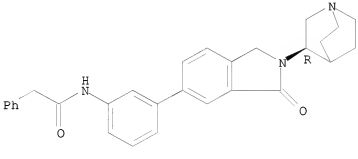
RN 868235-79-4 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(2-methoxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry.



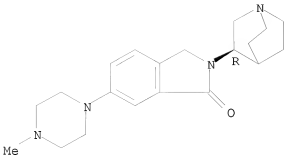
RN 868235-80-7 CAPLUS
CN Benzeneacetamide, N-[3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



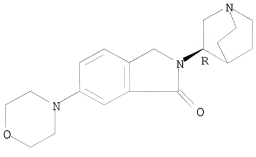
RN 868235-81-8 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

Absolute stereochemistry.



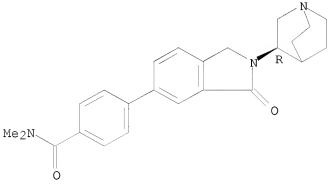
RN 868235-82-9 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(4-morpholinyl)- (CA INDEX NAME)

Absolute stereochemistry.



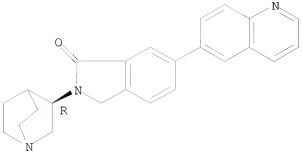
RN 868235-83-0 CAPLUS
CN Benzamide, 4-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.



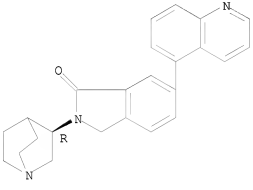
RN 868235-84-1 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(6-quinolinyl)- (CA INDEX NAME)

Absolute stereochemistry.



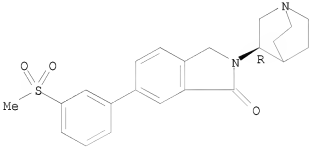
RN 868235-85-2 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(5-quinolinyl)- (CA INDEX NAME)

Absolute stereochemistry.



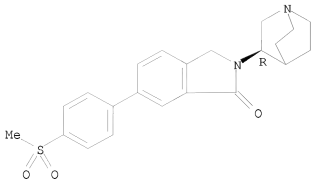
RN 868235-86-3 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



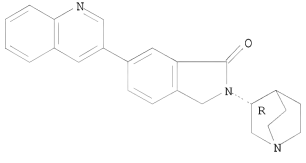
RN 868235-87-4 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[4-(methylsulfonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



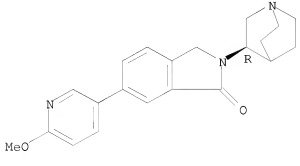
RN 868235-88-5 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(3-quinolinyl)- (CA INDEX NAME)

Absolute stereochemistry.



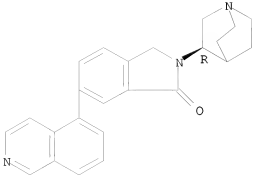
RN 868235-89-6 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(6-methoxy-3-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



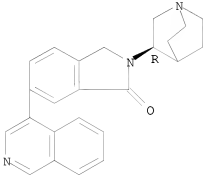
RN 868235-90-9 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(5-isoquinolinyl)- (CA INDEX NAME)

Absolute stereochemistry.



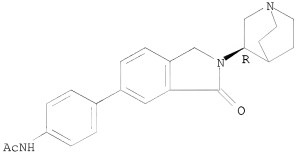
RN 868235-91-0 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(4-isoquinolinyl)- (CA INDEX NAME)

Absolute stereochemistry.



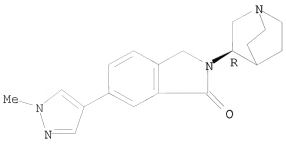
RN 868235-92-1 CAPLUS
CN Acetamide, N-[4-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



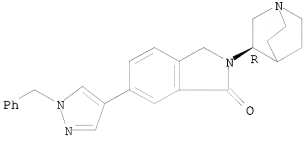
RN 868235-93-2 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(1-methyl-1H-pyrazol-4-yl)- (CA INDEX NAME)

Absolute stereochemistry.



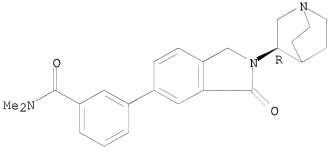
RN 868235-94-3 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[1-(phenylmethyl)-1H-pyrazol-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.



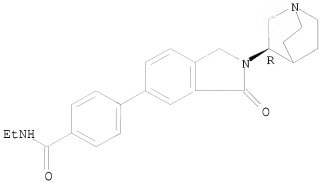
RN 868235-95-4 CAPLUS
CN Benzamide, 3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.



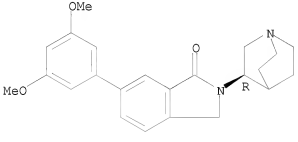
RN 868235-96-5 CAPLUS
CN Benzamide, 4-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]-N-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



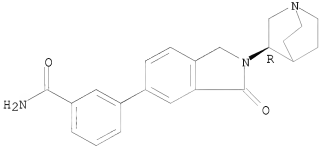
RN 868235-97-6 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(3,5-dimethoxyphenyl)-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



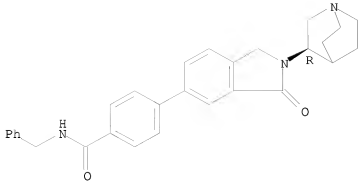
RN 868235-98-7 CAPLUS
CN Benzamide, 3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.



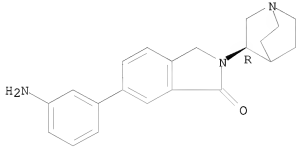
RN 868235-99-8 CAPLUS
CN Benzamide, 4-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]-N-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



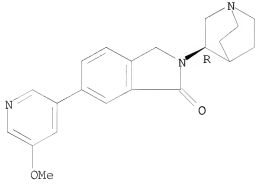
RN 868236-00-4 CAPLUS
CN 1H-Isoindol-1-one, 6-(3-aminophenyl)-2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



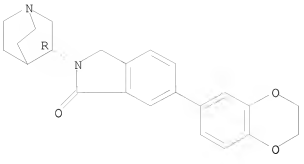
RN 868236-02-6 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(5-methoxy-3-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



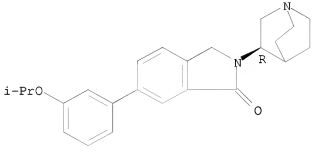
RN 868236-04-8 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(2,3-dihydro-1,4-benzodioxin-6-yl)-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



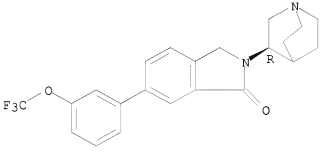
RN 868236-06-0 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(1-methylethoxy)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



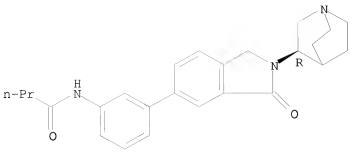
RN 868236-07-1 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



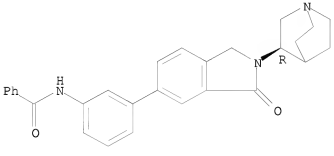
RN 868236-08-2 CAPLUS
CN Butanamide, N-[3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



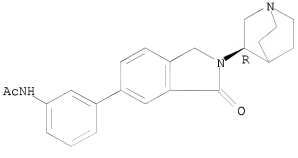
RN 868236-09-3 CAPLUS
CN Benzamide, N-[3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



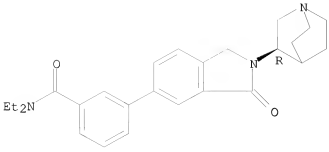
RN 868236-10-6 CAPLUS
CN Acetamide, N-[3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



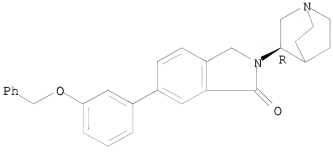
RN 868236-11-7 CAPLUS
CN Benzamide, 3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]-N,N-diethyl- (CA INDEX NAME)

Absolute stereochemistry.



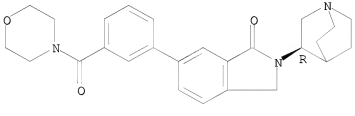
RN 868236-12-8 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(phenylmethoxy)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



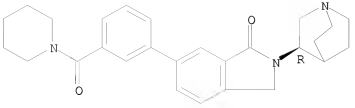
RN 868236-13-9 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(4-morpholinylcarbonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



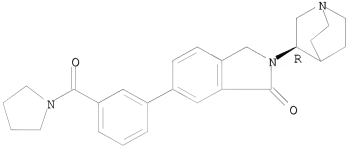
RN 868236-14-0 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(1-piperidinylcarbonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



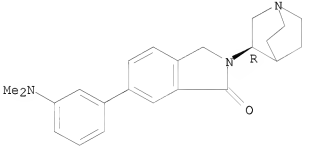
RN 868236-15-1 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(1-pyrrolidinylcarbonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



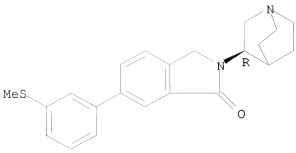
RN 868236-16-2 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-[3-(dimethylamino)phenyl]-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



RN 868236-17-3 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(methylthio)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



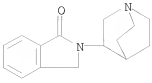
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:89070 CAPLUS
DOCUMENT NUMBER: 136:395318
TITLE: Novel Potent 5-HT3 Receptor Ligands Based on the Pyrrolidone Structure: Synthesis, Biological Evaluation, and Computational Rationalization of the Ligand-Receptor Interaction Modalities
AUTHOR(S): Cappelli, Andrea; Anzini, Maurizio; Vomero, Salvatore; Mennuni, Laura; Makovec, Francesco; Doucet, Edith; Hamon, Michel; Menziani, M. Cristina; De Benedetti, Pier G.; Giorgi, Gianluca; Ghelardini, Carla; Collina, Simona
CORPORATE SOURCE: Dipartimento Farmaco Chimico Tecnologico, Universita di Siena, Siena, 53100, Italy
SOURCE: Bioorganic & Medicinal Chemistry (2002), 10(3), 779-801
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:395318

AB Novel conformationally constrained derivs. of classical 5-HT3 receptor antagonists were designed and synthesized with the aim of probing the central 5-HT3 receptor recognition site in a systematic way. The newly-synthesized compds. were tested for their potential ability to inhibit [3H]granisetron specific binding to 5-HT3 receptor in rat cortical membranes. These studies revealed subnanomolar affinity in some of the compds. under study. The most potent ligand in this series was the quinuclidine derivative, which showed an affinity comparable with that of the reference ligand granisetron. The potential 5-HT3 agonist/antagonist activity of some selected compds. was assessed in vitro on the 5-HT3 receptor-dependent [14C]guanidinium uptake in NG 108-15 cells. Both of the tropane derivs. tested in this functional assay showed antagonist properties, while the quinuclidine derivs. studied showed a full range of intrinsic efficacies. Therefore, the functional behavior of these 5-HT3 receptor ligands appears to be affected by the structural features of both the azabicyclo moiety and the heteroarom. portion. In agreement with the data obtained on NG 108-15 cells, investigations on the 5-HT3 receptor-dependent Bezold-Jarisch reflex in urethane-anesthetized rats confirmed the 5-HT3 receptor antagonist properties of several of the compds. Finally, several prevented scopolamine-induced amnesia in the mouse passive avoidance test suggestive of a potential usefulness in cognitive disorders for these compds. Qual. and quant. structure-affinity relation studies were carried out by theor. descriptors derived on a single structure and ad-hoc defined size and shape descriptors (indirect approach). The results showed to be useful in capturing information relevant to ligand-receptor interaction. Addnl. information derived by the anal. of the energy minimized 3-D structures of the ligand-receptor complexes (direct approach) suggested interesting mechanistic and methodol. considerations on the binding mode multiplicity at the 5-HT3 receptors and on the degree of tolerance allowed in the alignment of mols. for the indirect approach, resp.

IT 431079-01-5P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(novel potent 5-HT3 receptor ligands based on pyrrolidone structure in relation to synthesis and biol. evaluation and computational rationalization of ligand-receptor interaction modalities)

RN 431079-01-5 CAPLUS
CN 1H-Isoindol-1-one, 2-(1-azabicyclo[2.2.2]oct-3-yl)-2,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

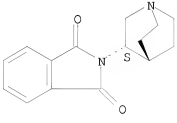
L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1992:511443 CAPLUS
DOCUMENT NUMBER: 117:111443
ORIGINAL REFERENCE NO.: 117:19443a,19446a
TITLE: Synthesis of (R)- and (S)-3-aminoquinuclidine from 3-quinuclidinone and (S)- and (R)-1-phenethylamine
AUTHOR(S): Langlois, Michel; Meyer, Christine; Soulier, Jean Louis
CORPORATE SOURCE: CERCOA, CNRS, Thiais, F-94320, Fr.
SOURCE: Synthetic Communications (1992), 22(13), 1895-911
CODEN: SYNCAV; ISSN: 0039-7911
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:111443

AB The synthesis of (R)- and (S)-3-aminoquinuclidine, an important building block for the synthesis of chiral 5-HT3 serotonin receptor antagonists, is described. The key reaction is the reduction by NaBH4 of the imine prepared from the 3-quinuclidinone and chiral (S) or (R)-1-phenethylamine.

IT 142999-65-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)

RN 142999-65-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-(1-azabicyclo[2.2.2]oct-3-yl)-, (S)- (9CI) (CA INDEX NAME)

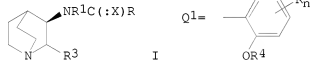
Absolute stereochemistry.

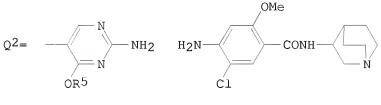


L5 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:571886 CAPLUS
DOCUMENT NUMBER: 113:171886
ORIGINAL REFERENCE NO.: 113:29153a,29156a
TITLE: Preparation of N-(3-quinuclidinyl)benzamides and analogs as psychoanaleptic agents
INVENTOR(S): Renaud, Alain; Langlois, Michel; Naylor, Robert John; Naylor, Brenda
PATENT ASSIGNEE(S): Delalande S. A., Fr.; A. H. Robins Co., Inc.
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 353711	A1	19900207	EP 1988-402041	19880804
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8905797	A	19910327	ZA 1989-5797	19890728
AU 8939174	A	19900208	AU 1989-39174	19890801
AU 624402	B2	19920611		
DK 8903818	A	19900205	DK 1989-3818	19890803
US 5017580	A	19910521	US 1989-389309	19890803
JP 02256616	A	19901017	JP 1989-202710	19890804
CA 1333154	C	19941122	CA 1989-607650	19890804
PRIORITY APPLN. INFO.:			EP 1988-402041 A	19880804
OTHER SOURCE(S):	MARPAT 113:171886			

GI



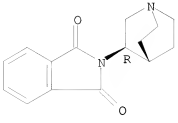


AB The title compds. (I; R = Ph optionally having 1-3 C1-4 alkoxy and/or 1-2 halo substituents, Q1, Q2; R1, R3 = H, C1-4 alkyl; R2 = halo, NH2, NHMe, NMe2, C1-8 alkoxy, C1-4 alkanoyl; 4,5-R22 = CH:CHCH:CH; R4 = C1-8 alkyl; R5 = C1-4 alkyl; n = 1,2) were prepared Thus, (R)-(+)-3-aminoquinuclidine (preparation given) was stirred 18 h with 4-amino-5-chloro-2-methoxybenzoic acid in pyridine containing DCC to give, after acidification, title compound (R)-(+)-II.HCl which facilitated light avoidance learning and prevented scopolamine impairment of same in mice receiving 10 ng/kg b.d.

IT 123442-07-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of psychoanaleptic agents)

RN 123442-07-9 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-(1-azabicyclo[2.2.2]oct-3-yl)-, (R)- (9CI)
(CA INDEX NAME)

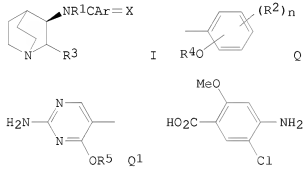
Absolute stereochemistry.



L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1989:614399 CAPLUS
DOCUMENT NUMBER: 111:214399
ORIGINAL REFERENCE NO.: 111:35560h,35561a
TITLE: Preparation of anxiolytic N-[1-azabicyclo[2.2.2]oct-3(R)-yl]benzamides and -thiobenzamides
INVENTOR(S): Renaud, Alain; Langlois, Michel; Naylor, Robert John; Naylor, Brenda
PATENT ASSIGNEE(S): Delalande S. A., Fr.; A. H. Robins Co., Inc.
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 311724	A1	19890419	EP 1987-402321	19871016
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8807601	A	19890726	ZA 1988-7601	19881012
DK 8805761	A	19890417	DK 1988-5761	19881014
AU 8823749	A	19890420	AU 1988-23749	19881014
AU 618027	B2	19911212		
JP 01199969	A	19890811	JP 1988-259257	19881014
CA 1322552	C	19930928	CA 1988-580281	19881014
US 5206246	A	19930427	US 1991-735174	19910723
PRIORITY APPLN. INFO.:			EP 1987-402321 A	19871016
			US 1988-257632 B1	19881014
			US 1990-609018 B1	19901031

OTHER SOURCE(S): CASREACT 111:214399; MARPAT 111:214399
GI



AB The title compds. [I; X = O, S; R1, R3 = H, alkyl; Ar = (substituted) Ph, e.g., Q; R2 = halo, 4,5-benzo, alkylcarbonyl, NH2, NHMe, NMe2,etc.; R4 = alkyl, Q1; n = 1, 2; R5 = alkyl] and their N-oxides, pharmaceutically acceptable salts, useful as anxiolytics, are prepared either via separating the R enantiomer from a stereoisomeric mixture, or via reacting the appropriate 1-azabicyclo[2.2.2]octan-3(R)-amine with ArCO2H or its reactive derivative optionally followed by treatment with S, salt formation, etc. Benzoic acid II was condensed with 3-aminoquinuclidine in the presence of 1,1'-carbonyldiimidazole to give, after treatment with fumaric acid and

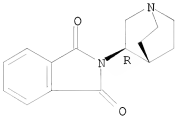
separation of the racemate (separation procedure not described by author), I [R1 =
R3 = H, Ar = 4-amino-5-chloro-2-methoxyphenyl, X = O,] (III) fumarate (1:1). By a method described by Craqley and Goodwin (1980) using mice, III at 0.1-10 mg/kg i.p. decreased significantly straightenings compared with the control.

IT 123442-07-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for anxiolytics)

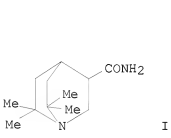
RN 123442-07-9 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-(1-azabicyclo[2.2.2]oct-3-yl)-, (R)- (9CI) (CA INDEX NAME)

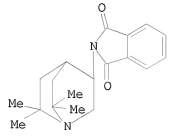
Absolute stereochemistry.



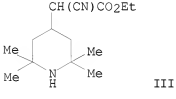
L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1977:16523 CAPLUS
DOCUMENT NUMBER: 86:16523
ORIGINAL REFERENCE NO.: 86:2689a,2692a
TITLE: Synthesis of 2,2,6,6-tetramethyl quinuclidines with functional substituents in the quinuclidine nucleus
AUTHOR(S): Levkoeva, E. I.; Yakhontov, L. N.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1976), (7), 927-34
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 86:16523
GI



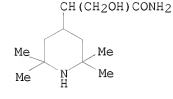
I



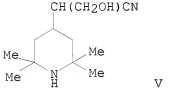
II



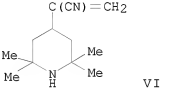
III



IV

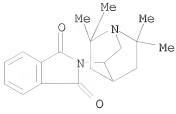


V



VI

AB Quinuclidines I and II were prepared Hydrolysis of piperidine acetate III gave 71.5% amide, which was reduced to give 81.4% hydroxyamide IV. The tosylate of IV was prepared in pyridine and treated with K2CO3 to give 30% V and 18% VI; VI was successively treated with PBr3 and cyclized to give 15% I. Several other tetramethylpiperidines were also prepared
IT 61171-66-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 61171-66-2 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-(6,6,7,7-tetramethyl-1-azabicyclo[2.2.2]oct-3-yl)-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

=> D IBIB ABS HITSTR L6 TOT

L6 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:734100 CAPLUS
DOCUMENT NUMBER: 149:79629
TITLE: Preparation of N,N'-diarylpyrimidinediamine for use as protein kinase inhibitors
INVENTOR(S): Michellys, Pierre-Yves; Pei, Wei; Marsilje, Thomas H.; Lu, Wenshuo; Chen, Bei; Uno, Tetsuo; Jin, Yunho; Jiang, Tao
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 199pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008073687	A2	20080619	WO 2007-US85304	20071120
WO 2008073687	A3	20080731		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20080176881	A1	20080724	US 2007-943436	20071120
PRIORITY APPLN. INFO.:			US 2006-869299P P	20061208
			US 2007-966449P P	20070828

OTHER SOURCE(S): MARPAT 149:79629
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

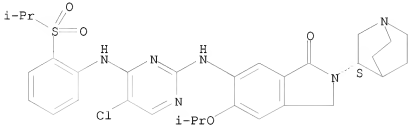
AB Title compds. I [R1 and R2 independently = halo, OR12, (un)substituted alkyl, alkynyl, etc.; or one of R1 or R2 = H; or R1 and R2 together form (un)substituted monocyclic or fused carbocyclic ring, aryl, heteroaryl, etc.; R3 = CN, SO2R12, (CR5)2CO2R12, etc.; R4 = H, NO2, halo, (un)substituted alkyl, alkenyl, etc.; R5 = H or alkyl; R6 = substituted aryl or heteroaryl; R12 = H, alkyl, aryl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as protein kinase inhibitors. Thus, e.g., II was prepared by amidation of 4-aminopiperidine-1-carboxylic acid tert-Bu ester with 2-chloro-4-isopropoxy-5-nitrobenzoyl chloride (preparation given), followed by coupling with vinylboronic acid di-Bu ester, cyclization, reduction, substitution with (2,5-dichloropyrimidin-4-yl)-[2-(propane-2-sulfonyl)phenyl]amine (preparation given), and deprotection. I were evaluated in BaF3-NPM-ALK cell assays and, in general, demonstrated IC50 values from 1 nM to 10 μM.
IT 1032902-05-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-diarylpyrimidinediamine for use as protein kinase inhibitors)

RN 1032902-05-8 CAPLUS

CN 1H-Isoindol-1-one, 2-(3S)-1-azabicyclo[2.2.2]oct-3-yl-6-[[5-chloro-4-[[2-[(1-methylethyl)sulfonyl]phenyl]amino]-2-pyrimidinyl]amino]-2,3-dihydro-5-(1-methylethoxy)- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:224063 CAPLUS
DOCUMENT NUMBER: 148:285190
TITLE: Tricyclic compound derivatives useful in the treatment of neoplastic diseases, inflammatory disorders and immunomodulatory disorders
INVENTOR(S): Gregor, Vlad Edward; Liu, Yahua; Anikin, Alexey; McGee, Danny Peter Claude; Mikel, Charles; McGrath, Douglas Eric; Vavilala, Goverdhan Reddy; Pickens, Jason C.; Kadushkin, Alexander; Thiruvazhi, Mohan Santhanam; Zozulya, Sergey; Vairagoundar, Rajendran; Zhu, Tong; Chucholowski, Alexander; Webb, Thomas R.; Jiang, Luyong; Gantla, Vidyasagar Reddy; Yan, Zheng
PATENT ASSIGNEE(S): Chembridge Research Laboratories, Inc., USA
SOURCE: PCT Int. Appl., 339pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008021369	A2	20080221	WO 2007-US18002	20070813
WO 2008021369	A3	20080529		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20080171769	A1	20080717	US 2007-891604	20070810
PRIORITY APPLN. INFO.:			US 2006-837652P P	20060814

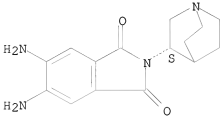
OTHER SOURCE(S): MARPAT 148:285190
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Provided are compds. of formula I or a stereoisomer, tautomer, salt, hydrate, or prodrug thereof, capable of modulating tyrosine kinases, compns. comprising the compds. and methods of their use. Compds. of formula I wherein each W1 - W6 are independently C and N, with the proviso that then W1 - W6 is N, the corresponding substituent X1 - X6 is absent; each X1 - X3, X5 and X6 are independently H, OH, halo, (un)substituted lower alkyl, (un)substituted lower alkoxy, (un)substituted acylamino, etc.; X4 is H, OH, halo, CF3, OCF3, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, etc.; Y1 and Y2 are independently (un)substituted (CH2)0-4 alkyl, CO, CS, C=NH, and derivs., SO2 and CF2; R1 is (un)substituted heterocyclyl, heterocyclylalkyl, heteroaryl, heteroarylalkyl, etc.; and their stereoisomers, tautomers, salts, hydrated and prodrugs thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their tyrosine kinase modulatory activity (data given).

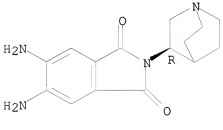
IT 1008453-60-8P 1008453-64-2P
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prophetic intermediate; preparation of tricyclic compound derivs. as tyrosine
kinase modulators useful in treatment and prevention of neoplastic, inflammatory, immune and other tyrosine kinase-related diseases)
RN 1008453-60-8 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5,6-diamino-2-(3S)-1-azabicyclo[2.2.2]oct-3-yl- (CA INDEX NAME)

Absolute stereochemistry.



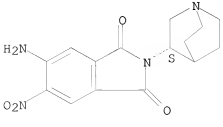
RN 1008453-64-2 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5,6-diamino-2-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (CA INDEX NAME)

Absolute stereochemistry.



IT 1008452-35-4 1008452-37-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of tricyclic compound derivs. as tyrosine kinase modulators useful in treatment and prevention of neoplastic, inflammatory, immune and other tyrosine kinase-related diseases)
RN 1008452-35-4 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5-amino-2-(3S)-1-azabicyclo[2.2.2]oct-3-yl-6-nitro- (CA INDEX NAME)

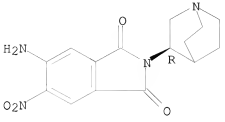
Absolute stereochemistry.



RN 1008452-37-6 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 5-amino-2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-

nitro- (CA INDEX NAME)

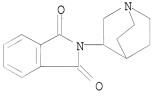
Absolute stereochemistry.



L6 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1262924 CAPLUS
DOCUMENT NUMBER: 144:369594
TITLE: Synthesis, structural and conformational study of selected N-substituted phthalimides
AUTHOR(S): Iriepa, Isabel; Villasante, F. Javier; Galvez, Enrique; Herrera, Antonio; Sanchez, Angel; Cano, Felix H.
CORPORATE SOURCE: Dpto. Quimica Organica, Universidad de Alcala, Alcala de Henares, 28871, Spain
SOURCE: Central European Journal of Chemistry (2005), 3(4), 683-704
CODEN: CEJCAZ; ISSN: 1644-3624
URL: http://www.ingentaconnect.com/content/cesj/cejc/2005/00000003/00000004
PUBLISHER: Central European Science Journals
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:369594

AB This paper synthesizes N-substituted phthalimides derived from nitrogen heterocycles as potential 5-HT4 ligands by using the Mitsunobu reaction. Conformational studies of some of the new compds. have been conducted using 1H and 13C-NMR spectroscopy. Proton and carbon resonances were achieved through the application of one-dimensional selective NOE, two-dimensional NMR techniques-homonuclear COSY-45, NOESY and heteronuclear 1H-13C HMQC correlated spectroscopy- and double resonance expts. The crystal structure of compound 1 was determined by X-ray diffraction.

IT 882430-91-3P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(synthesis, crystal structure, and conformation of N-substituted phthalimides)
RN 882430-91-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-(1-azabicyclo[2.2.2]oct-3-yl)- (CA INDEX NAME)



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1154550 CAPLUS

DOCUMENT NUMBER: 143:422508

TITLE: Preparation of 2-(1-azabicyclo[2.2.2]oct-3-yl)-2,3-dihydroisoindol-1-one and 5-(1-azabicyclo[2.2.2]oct-3-yl)-5,6-dihydrofuro[2,3-c]pyrrol-4-one derivatives for therapeutic use as ligands for the α7 nicotinic acetylcholine receptor (α7nAChR)

INVENTOR(S): Chapdelaine, Marc; Herzog, Keith J.

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Chapdelaine, Marc; Herzog, Keith J.

SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005100351	A1	20051027	WO 2005-SE500	20050406
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005233492	A1	20051027	AU 2005-233492	20050406
CA 2563010	A1	20051027	CA 2005-2563010	20050406
EP 1737854	A1	20070103	EP 2005-722314	20050406
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1968951	A	20070523	CN 2005-80019493	20050406
BR 2005009777	A	20071023	BR 2005-9777	20050406
JP 2007532637	T	20071115	JP 2007-508300	20050406
IN 2006DN05559	A	20070831	IN 2006-DN5559	20060925
MX 2006011725	A	20061211	MX 2006-11725	20061010
US 20070213342	A1	20070913	US 2006-599839	20061011
KR 2007020445	A	20070221	KR 2006-721260	20061013
NO 2006005199	A	20061113	NO 2006-5199	20061113

PRIORITY APPLN. INFO.: SE 2004-970 A 20040414
WO 2005-SE500 W 20050406

OTHER SOURCE(S): CASREACT 143:422508; MARPAT 143:422508

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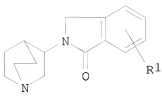
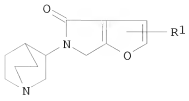
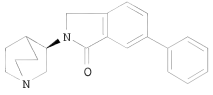


I



III



III

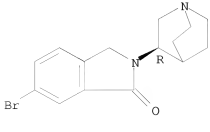
AB The title quinuclidine derivs., such as I and II [R1 = H, halogen, aryl, heteroaryl, heterocyclyl], were prepared for use in pharmaceutical compns. as α7nAChR ligands for treatment or prophylaxis of diseases or conditions in which activation of the α7nAChR is beneficial. These quinuclidines are claimed for use in the treatment or prophylaxis of neurol. disorders, psychotic disorders or intellectual impairment disorders selected from Alzheimer's disease, learning deficit, cognition deficit, attention deficit, memory loss or attention deficit hyperactivity disorder, anxiety, schizophrenia, or mania, manic depression, Parkinson's disease, Huntington's disease, Tourette's syndrome, neurodegenerative disorders in which there is loss of cholinergic synapses, jet lag, nicotine addiction, craving, pain, or ulcerative colitis. Thus, 2-[(R)-1-azabicyclo[2.2.2]oct-3-yl]-6-phenyl-2,3-dihydroisoindol-1-one (III) was prepared via an aromatic coupling reaction with 34% yield of 2-[(R)-1-azabicyclo[2.2.2]oct-3-yl]-6-bromo-2,3-dihydroisoindol-1-one with PhB(OH)2 using PdCl2(PPh3)2 and Cs2CO3 in DME/H2O/EtOH (1:1:1) and heating to 150° for 10 min in a Smith microwave. The prepared quinuclidine derivs. were assayed for α7nAChR binding affinity and for P-glycoprotein mediated efflux.

IT 868235-52-3P, 2-[(R)-1-Azabicyclo[2.2.2]oct-3-yl]-6-bromo-2,3-dihydroisoindol-1-one 868235-55-6P 868235-59-0P 868235-63-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2-quinuclidinyl-2,3-dihydroisoindol-1-ones and 5-quinuclidinyl-5,6-dihydro-furo[2,3-c]pyrrol-4-one derivs. for therapeutic use as ligands for α7 nicotinic acetylcholine receptor)

RN 868235-52-3 CAPLUS

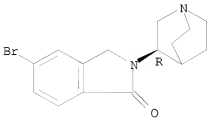
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-bromo-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



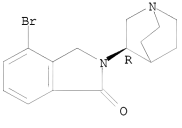
RN 868235-55-6 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-5-bromo-2,3-dihydro-
(CA INDEX NAME)

Absolute stereochemistry.



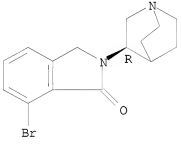
RN 868235-59-0 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-4-bromo-2,3-dihydro-
(CA INDEX NAME)

Absolute stereochemistry.



RN 868235-63-6 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-7-bromo-2,3-dihydro-
(CA INDEX NAME)

Absolute stereochemistry.



IT 868235-47-6P, 2-[(R)-1-Azabicyclo[2.2.2]oct-3-yl]-6-phenyl-2,3-
dihydroisoindol-1-one 868235-48-7P 868235-50-1P
868235-51-2P 868235-53-4P 868235-54-5P
868235-56-7P 868235-57-8P 868235-58-9P
868235-60-3P 868235-61-4P 868235-62-5P
868235-64-7P 868235-65-8P 868235-66-9P
868235-67-0P 868235-68-1P 868235-72-7P
868235-73-8P 868235-74-9P 868235-75-0P
868235-76-1P 868235-77-2P 868235-78-3P
868235-79-4P 868235-80-7P 868235-81-8P
868235-82-9P 868235-83-0P 868235-84-1P

868235-85-2P 868235-86-3P 868235-87-4P
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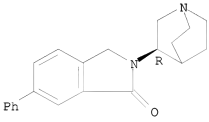
868236-00-4P 868236-02-6P 868236-04-8P
868236-06-0P 868236-07-1P 868236-08-2P
868236-09-3P 868236-10-6P 868236-11-7P
868236-12-8P 868236-13-9P 868236-14-0P
868236-15-1P 868236-16-2P 868236-17-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-quinuclidinyl-2,3-dihydroisoindol-1-ones and 5-quinuclidinyl-5,6-dihydro-furo[2,3-c]pyrrol-4-one derivs. for therapeutic use as ligands for α7 nicotinic acetylcholine receptor)

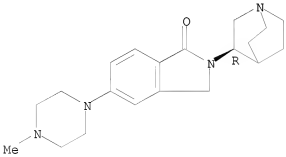
RN 868235-47-6 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



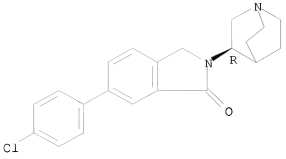
RN 868235-48-7 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-5-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

Absolute stereochemistry.



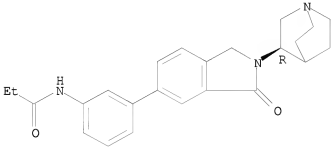
RN 868235-50-1 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(4-chlorophenyl)-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



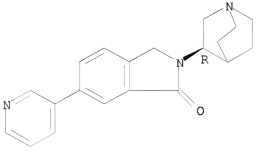
RN 868235-51-2 CAPLUS
CN Propanamide, N-[3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



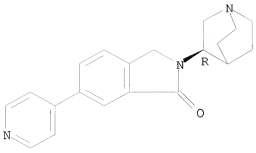
RN 868235-53-4 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(3-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



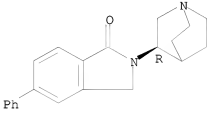
RN 868235-54-5 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(4-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



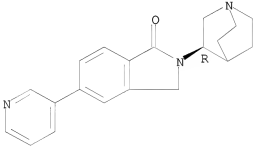
RN 868235-56-7 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-5-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



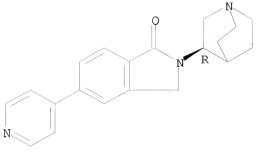
RN 868235-57-8 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-5-(3-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



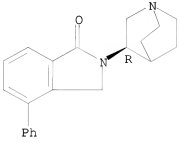
RN 868235-58-9 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-5-(4-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



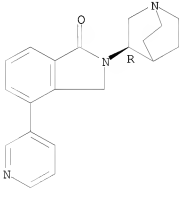
RN 868235-60-3 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-4-phenyl-
(CA INDEX NAME)

Absolute stereochemistry.



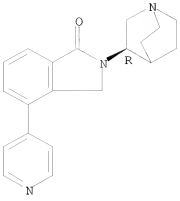
RN 868235-61-4 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-4-(3-
pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



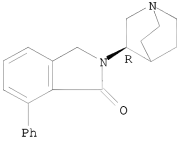
RN 868235-62-5 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-4-(4-
pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



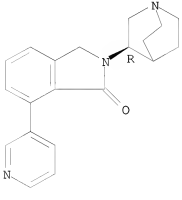
RN 868235-64-7 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-7-phenyl-
(CA INDEX NAME)

Absolute stereochemistry.



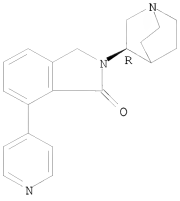
RN 868235-65-8 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-7-(3-
pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



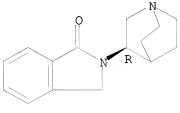
RN 868235-66-9 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-7-(4-
pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



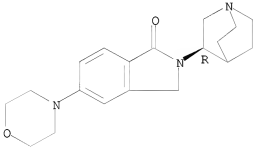
RN 868235-67-0 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



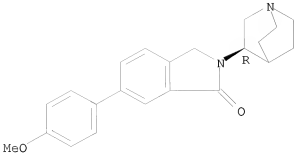
RN 868235-68-1 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-5-(4-morpholinyl)- (CA INDEX NAME)

Absolute stereochemistry.



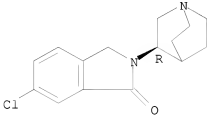
RN 868235-72-7 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(4-methoxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry.



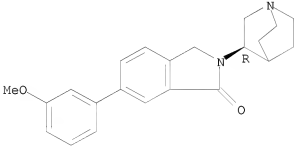
RN 868235-73-8 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-chloro-2,3-dihydro-
(CA INDEX NAME)

Absolute stereochemistry.



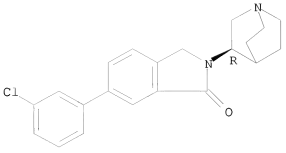
RN 868235-74-9 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(3-
methoxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry.



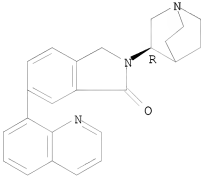
RN 868235-75-0 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(3-chlorophenyl)-
2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



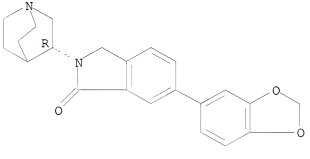
RN 868235-76-1 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(8-quinolinyl)- (CA INDEX NAME)

Absolute stereochemistry.



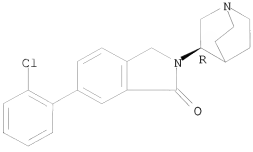
RN 868235-77-2 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(1,3-benzodioxol-5-yl)-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



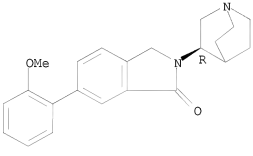
RN 868235-78-3 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(2-chlorophenyl)-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



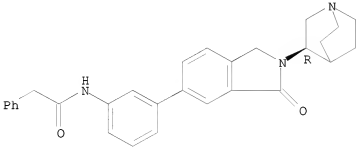
RN 868235-79-4 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(2-methoxyphenyl)- (CA INDEX NAME)

Absolute stereochemistry.



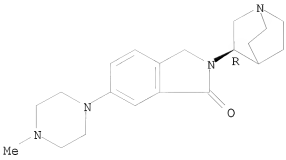
RN 868235-80-7 CAPLUS
CN Benzeneacetamide, N-[3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



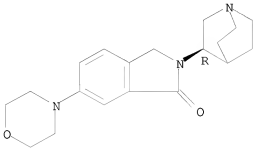
RN 868235-81-8 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

Absolute stereochemistry.



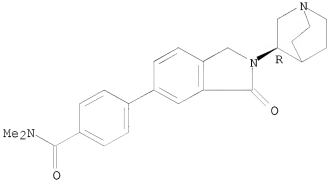
RN 868235-82-9 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(4-morpholinyl)- (CA INDEX NAME)

Absolute stereochemistry.



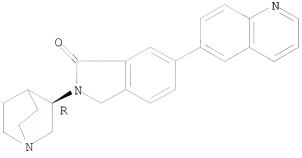
RN 868235-83-0 CAPLUS
CN Benzamide, 4-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.



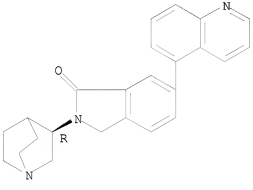
RN 868235-84-1 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(6-quinolinyl)- (CA INDEX NAME)

Absolute stereochemistry.



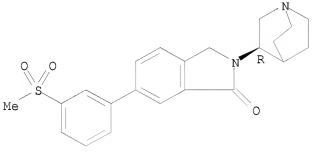
RN 868235-85-2 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(5-quinolinyl)- (CA INDEX NAME)

Absolute stereochemistry.



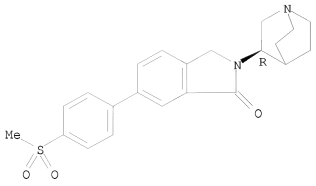
RN 868235-86-3 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



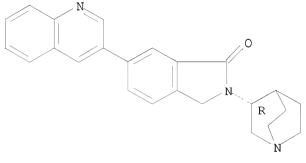
RN 868235-87-4 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[4-(methylsulfonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



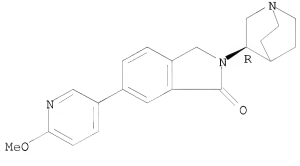
RN 868235-88-5 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(3-quinolinyl)- (CA INDEX NAME)

Absolute stereochemistry.



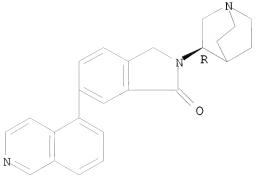
RN 868235-89-6 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(6-methoxy-3-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



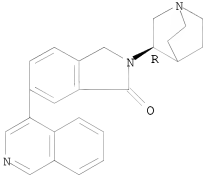
RN 868235-90-9 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(5-isoquinolinyl)- (CA INDEX NAME)

Absolute stereochemistry.



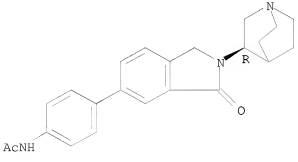
RN 868235-91-0 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(4-isoquinolinyl)- (CA INDEX NAME)

Absolute stereochemistry.



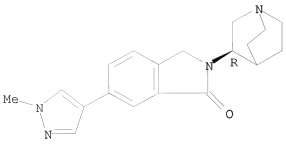
RN 868235-92-1 CAPLUS
CN Acetamide, N-[4-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



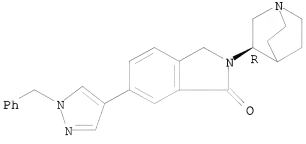
RN 868235-93-2 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(1-methyl-1H-pyrazol-4-yl)- (CA INDEX NAME)

Absolute stereochemistry.



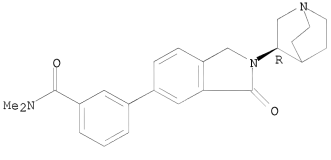
RN 868235-94-3 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[1-(phenylmethyl)-1H-pyrazol-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.



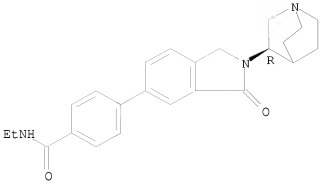
RN 868235-95-4 CAPLUS
CN Benzamide, 3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.



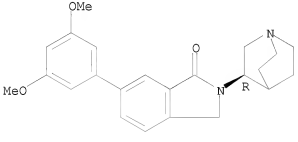
RN 868235-96-5 CAPLUS
CN Benzamide, 4-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]-N-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



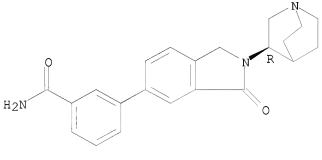
RN 868235-97-6 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(3,5-dimethoxyphenyl)-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



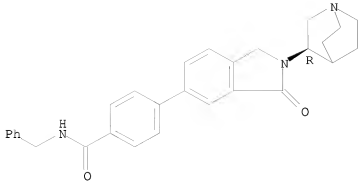
RN 868235-98-7 CAPLUS
CN Benzamide, 3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.



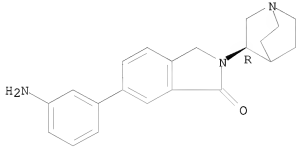
RN 868235-99-8 CAPLUS
CN Benzamide, 4-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]-N-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



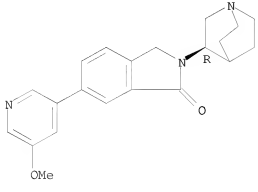
RN 868236-00-4 CAPLUS
CN 1H-Isoindol-1-one, 6-(3-aminophenyl)-2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



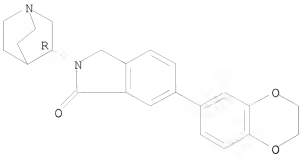
RN 868236-02-6 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-(5-methoxy-3-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



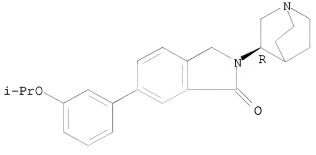
RN 868236-04-8 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-(2,3-dihydro-1,4-benzodioxin-6-yl)-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



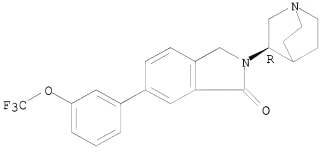
RN 868236-06-0 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(1-methylethoxy)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



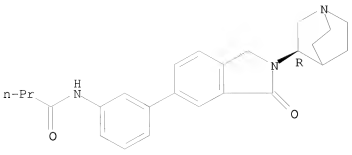
RN 868236-07-1 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



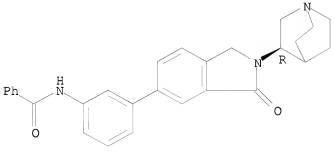
RN 868236-08-2 CAPLUS
CN Butanamide, N-[3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



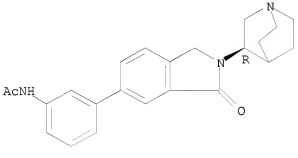
RN 868236-09-3 CAPLUS
CN Benzamide, N-[3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



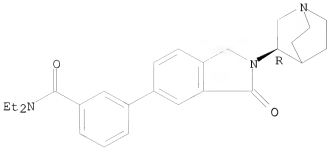
RN 868236-10-6 CAPLUS
CN Acetamide, N-[3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



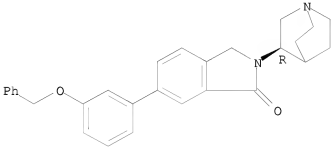
RN 868236-11-7 CAPLUS
CN Benzamide, 3-[2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-3-oxo-1H-isoindol-5-yl]-N,N-diethyl- (CA INDEX NAME)

Absolute stereochemistry.



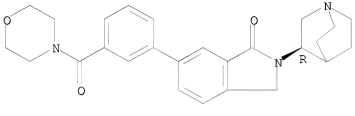
RN 868236-12-8 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(phenylmethoxy)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



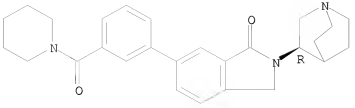
RN 868236-13-9 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(4-morpholinylcarbonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



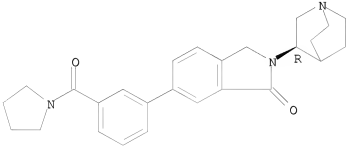
RN 868236-14-0 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(1-piperidinylcarbonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



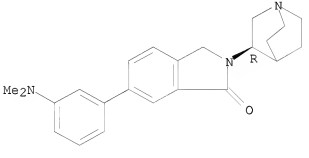
RN 868236-15-1 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(1-pyrrolidinylcarbonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



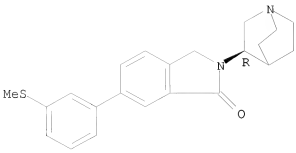
RN 868236-16-2 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-[3-(dimethylamino)phenyl]-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



RN 868236-17-3 CAPLUS
CN 1H-Isoindol-1-one, 2-(3R)-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro-6-[3-(methylthio)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



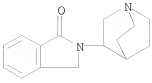
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:89070 CAPLUS
DOCUMENT NUMBER: 136:395318
TITLE: Novel Potent 5-HT3 Receptor Ligands Based on the Pyrrolidone Structure: Synthesis, Biological Evaluation, and Computational Rationalization of the Ligand-Receptor Interaction Modalities
AUTHOR(S): Cappelli, Andrea; Anzini, Maurizio; Vomero, Salvatore; Mennuni, Laura; Makovec, Francesco; Doucet, Edith; Hamon, Michel; Menziani, M. Cristina; De Benedetti, Pier G.; Giorgi, Gianluca; Ghelardini, Carla; Collina, Simona
CORPORATE SOURCE: Dipartimento Farmaco Chimico Tecnologico, Universita di Siena, Siena, 53100, Italy
SOURCE: Bioorganic & Medicinal Chemistry (2002), 10(3), 779-801
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:395318

AB Novel conformationally constrained derivs. of classical 5-HT3 receptor antagonists were designed and synthesized with the aim of probing the central 5-HT3 receptor recognition site in a systematic way. The newly-synthesized compds. were tested for their potential ability to inhibit [3H]granisetron specific binding to 5-HT3 receptor in rat cortical membranes. These studies revealed subnanomolar affinity in some of the compds. under study. The most potent ligand in this series was the quinuclidine derivative, which showed an affinity comparable with that of the reference ligand granisetron. The potential 5-HT3 agonist/antagonist activity of some selected compds. was assessed in vitro on the 5-HT3 receptor-dependent [14C]guanidinium uptake in NG 108-15 cells. Both of the tropane derivs. tested in this functional assay showed antagonist properties, while the quinuclidine derivs. studied showed a full range of intrinsic efficacies. Therefore, the functional behavior of these 5-HT3 receptor ligands appears to be affected by the structural features of both the azabicyclo moiety and the heteroarom. portion. In agreement with the data obtained on NG 108-15 cells, investigations on the 5-HT3 receptor-dependent Bezold-Jarisch reflex in urethane-anesthetized rats confirmed the 5-HT3 receptor antagonist properties of several of the compds. Finally, several prevented scopolamine-induced amnesia in the mouse passive avoidance test suggestive of a potential usefulness in cognitive disorders for these compds. Qual. and quant. structure-affinity relation studies were carried out by theor. descriptors derived on a single structure and ad-hoc defined size and shape descriptors (indirect approach). The results showed to be useful in capturing information relevant to ligand-receptor interaction. Addnl. information derived by the anal. of the energy minimized 3-D structures of the ligand-receptor complexes (direct approach) suggested interesting mechanistic and methodol. considerations on the binding mode multiplicity at the 5-HT3 receptors and on the degree of tolerance allowed in the alignment of mols. for the indirect approach, resp.

IT 431079-01-5P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(novel potent 5-HT3 receptor ligands based on pyrrolidone structure in relation to synthesis and biol. evaluation and computational rationalization of ligand-receptor interaction modalities)

RN 431079-01-5 CAPLUS
CN 1H-Isoindol-1-one, 2-(1-azabicyclo[2.2.2]oct-3-yl)-2,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

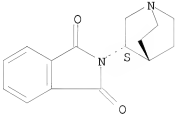
L6 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1992:511443 CAPLUS
DOCUMENT NUMBER: 117:111443
ORIGINAL REFERENCE NO.: 117:19443a,19446a
TITLE: Synthesis of (R)- and (S)-3-aminoquinuclidine from 3-quinuclidinone and (S)- and (R)-1-phenethylamine
AUTHOR(S): Langlois, Michel; Meyer, Christine; Soulier, Jean Louis
CORPORATE SOURCE: CERCOA, CNRS, Thiais, F-94320, Fr.
SOURCE: Synthetic Communications (1992), 22(13), 1895-911
CODEN: SYNCAV; ISSN: 0039-7911
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:111443

AB The synthesis of (R)- and (S)-3-aminoquinuclidine, an important building block for the synthesis of chiral 5-HT3 serotonin receptor antagonists, is described. The key reaction is the reduction by NaBH4 of the imine prepared from the 3-quinuclidinone and chiral (S) or (R)-1-phenethylamine.

IT 142999-65-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)

RN 142999-65-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-(1-azabicyclo[2.2.2]oct-3-yl)-, (S)- (9CI) (CA INDEX NAME)

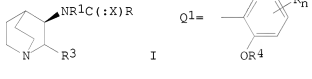
Absolute stereochemistry.

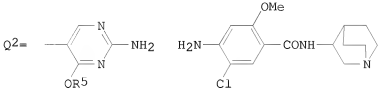


L6 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:571886 CAPLUS
DOCUMENT NUMBER: 113:171886
ORIGINAL REFERENCE NO.: 113:29153a,29156a
TITLE: Preparation of N-(3-quinuclidinyl)benzamides and analogs as psychoanaleptic agents
INVENTOR(S): Renaud, Alain; Langlois, Michel; Naylor, Robert John; Naylor, Brenda
PATENT ASSIGNEE(S): Delalande S. A., Fr.; A. H. Robins Co., Inc.
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 353371	A1	19900207	EP 1988-402041	19880804
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8905797	A	19910327	ZA 1989-5797	19890728
AU 8939174	A	19900208	AU 1989-39174	19890801
AU 624402	B2	19920611		
DK 8903818	A	19900205	DK 1989-3818	19890803
US 5017580	A	19910521	US 1989-389309	19890803
JP 02256616	A	19901017	JP 1989-202710	19890804
CA 1333154	C	19941122	CA 1989-607650	19890804
PRIORITY APPLN. INFO.:			EP 1988-402041 A	19880804
OTHER SOURCE(S):	MARPAT 113:171886			

GI



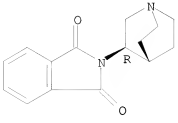


AB The title compds. (I; R = Ph optionally having 1-3 C1-4 alkoxy and/or 1-2 halo substituents, Q1, Q2; R1, R3 = H, C1-4 alkyl; R2 = halo, NH2, NHMe, NMe2, C1-8 alkoxy, C1-4 alkanoyl; 4,5-R22 = CH:CHCH:CH; R4 = C1-8 alkyl; R5 = C1-4 alkyl; n = 1,2) were prepared Thus, (R)-(+)-3-aminoquinuclidine (preparation given) was stirred 18 h with 4-amino-5-chloro-2-methoxybenzoic acid in pyridine containing DCC to give, after acidification, title compound (R)-(+)-II.HCl which facilitated light avoidance learning and prevented scopolamine impairment of same in mice receiving 10 ng/kg b.d.

IT 123442-07-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of psychoanaleptic agents)

RN 123442-07-9 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-(1-azabicyclo[2.2.2]oct-3-yl)-, (R)- (9CI)
(CA INDEX NAME)

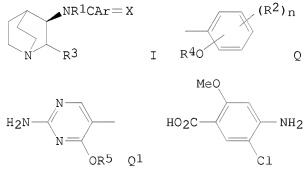
Absolute stereochemistry.



L6 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1989:614399 CAPLUS
DOCUMENT NUMBER: 111:214399
ORIGINAL REFERENCE NO.: 111:35560h,35561a
TITLE: Preparation of anxiolytic N-[1-azabicyclo[2.2.2]oct-3(R)-yl]benzamides and -thiobenzamides
INVENTOR(S): Renaud, Alain; Langlois, Michel; Naylor, Robert John; Naylor, Brenda
PATENT ASSIGNEE(S): Delalande S. A., Fr.; A. H. Robins Co., Inc.
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 311724	A1	19890419	EP 1987-402321	19871016
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8807601	A	19890726	ZA 1988-7601	19881012
DK 8805761	A	19890417	DK 1988-5761	19881014
AU 8823749	A	19890420	AU 1988-23749	19881014
AU 618027	B2	19911212		
JP 01199969	A	19890811	JP 1988-259257	19881014
CA 1322552	C	19930928	CA 1988-580281	19881014
US 5206246	A	19930427	US 1991-735174	19910723
PRIORITY APPLN. INFO.:			EP 1987-402321 A	19871016
			US 1988-257632 B1	19881014
			US 1990-609018 B1	19901031

OTHER SOURCE(S): CASREACT 111:214399; MARPAT 111:214399
GI



AB The title compds. [I; X = O, S; R1, R3 = H, alkyl; Ar = (substituted) Ph, e.g., Q; R2 = halo, 4,5-benzo, alkylcarbonyl, NH2, NHMe, NMe2,etc.; R4 = alkyl, Q1; n = 1, 2; R5 = alkyl] and their N-oxides, pharmaceutically acceptable salts, useful as anxiolytics, are prepared either via separating the R enantiomer from a stereoisomeric mixture, or via reacting the appropriate 1-azabicyclo[2.2.2]octan-3(R)-amine with ArCO2H or its reactive derivative optionally followed by treatment with S, salt formation, etc. Benzoic acid II was condensed with 3-aminoquinuclidine in the presence of 1,1'-carbonyldiimidazole to give, after treatment with fumaric acid and

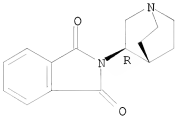
separation of the racemate (separation procedure not described by author), I [R1 =
R3 = H, Ar = 4-amino-5-chloro-2-methoxyphenyl, X = O,] (III) fumarate (1:1). By a method described by Craqley and Goodwin (1980) using mice, III at 0.1-10 mg/kg i.p. decreased significantly straightenings compared with the control.

IT 123442-07-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for anxiolytics)

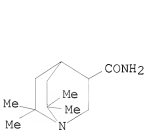
RN 123442-07-9 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-(1-azabicyclo[2.2.2]oct-3-yl)-, (R)- (9CI) (CA INDEX NAME)

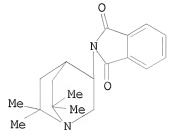
Absolute stereochemistry.



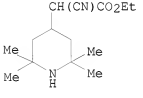
L6 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1977:16523 CAPLUS
DOCUMENT NUMBER: 86:16523
ORIGINAL REFERENCE NO.: 86:2689a,2692a
TITLE: Synthesis of 2,2,6,6-tetramethyl quinuclidines with functional substituents in the quinuclidine nucleus
AUTHOR(S): Levkoeva, E. I.; Yakhontov, L. N.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1976), (7), 927-34
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 86:16523
GI



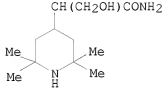
I



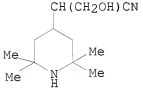
II



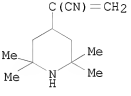
III



IV

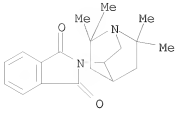


V



VI

AB Quinuclidines I and II were prepared Hydrolysis of piperidine acetate III gave 71.5% amide, which was reduced to give 81.4% hydroxyamide IV. The tosylate of IV was prepared in pyridine and treated with K2CO3 to give 30% V and 18% VI; VI was successively treated with PBr3 and cyclized to give 15% I. Several other tetramethylpiperidines were also prepared
IT 61171-66-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 61171-66-2 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-(6,6,7,7-tetramethyl-1-azabicyclo[2.2.2]oct-3-yl)-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	103.02	475.00

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-14.76	-14.76

STN INTERNATIONAL LOGOFF AT 11:39:24 ON 04 MAR 2009